CURRICULUM VITAE

NAME

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POSITION

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EDUCATION

Ph.D. (1996): Graduate School of Science and Technology, Keio University
M.S. (1989): Graduate School of Science and Technology, Keio University
B.S. (1987): Applied Chemistry, Keio University

PROFESSIONAL EXPERIENCE

2004 (Apr)-: Professor, Center for Drug Discovery, Graduate School of Pharmaceutical Sciences, University of Shizuoka
2002-2004: Senior Scientist, Pharmaceutical Research Institutes, Kyowa Hakko Kogyo Co. Ltd
2001-2002: Visiting Scientist, the Scripps Research Institute (USA)
2000-2001: Stuff Scientist, Pharmaceutical Research Institutes, Kyowa Hakko Kogyo Co. Ltd.
1995 (Jun-Sep): Visiting Scientist, Geron Co. (USA)
1989-2000: Stuff Scientist, Tokyo Research Laboratories, Kyowa Hakko Kogyo Co. Ltd.

RESEARCH INTERESTS

1) Assay development and lead discovery for new anticancer drug candidates
2) Chemical biology and medicinal chemistry for biologically active small molecules

PUBLICATIONS

1. Yoshioka S, Ikeda T, Fukuchi S, Kawai Y, Ohta K, Murakami H, Ogo N, Muraoka D, Takikawa O, **Asai A**. Identification and characterization of a novel dual inhibitor of indoleamine 2,3-dioxygenase 1 and

tryptophan 2,3-dioxygenase. *Int J Tryptophan Res.* 15, 11786469221138456 (2022)
2. Hamasaki E, Wakita N, Yasuoka H, Nagaoka H, Morita M, Takashima E, Uchihashi T, Takeda T, Abe T, Lee J, Iimura T, Saleem M, Ogo N, **Asai A**, Narita A, Takei K, Yamada H. The Lipid-Binding Defective Dynamin 2 Mutant in Charcot-Marie-Tooth Disease Impairs Proper Actin Bundling and Actin Organization in Glomerular Podocytes. *Front. Cell Dev Biol*, 10, 884509 (2022)
3. Yanagimura N, Takeuchi S, Fukuda K, Arai S, Tanimoto A, Nishiyama A, Ogo N, Takahashi H, **Asai A**, Watanabe S, Kikuchi T, Yano S. *npj Precis. Oncol.* 6, 11 (2022)
4. Araki T, Watanabe Y, Okada Y, Murakami H, Ogo N, **Asai A**. Identification of serum and glucocorticoid-regulated kinase 1 as a regulator of signal transducer and activator of transcription 3 signaling. *Exp. Cell Res.* 413, 113079 (2022)
5. Dotsu Y, Muraoka D, Ogo N, Sonoda Y, Yasui K, Yamaguchi H, Yagita H, Mukae H, **Asai A,** Ikeda H. Chemical augmentation of mitochondrial electron transport chains tunes T cell activation threshold in tumors. *J. Immunother. Cancer* 10, e003958 (2022)
6. Ono M, Sunagawa Y, Mochizuki S, Katagiri T, Takai H, Iwashimizu S, Inai K, Funamoto M, Shimizu K, Shimizu S, Katanasaka Y, Komiyama M, Hawke P, Hara H, Arakawa Y, Mori K, **Asai A**, Hasegawa K, Morimoto T. Chrysanthemum morifolium Extract Ameliorates Doxorubicin-Induced Cardiotoxicity by Decreasing Apoptosis. *Cancers* 14, 683 (2022)
7. Taniguchi K, Tsugane M, **Asai A.** A brief update on STAT3 signaling: current challenges and future directions in cancer treatment. *J Cell Signal.* 2, 181-194 (2021)
8. Sawada J, Matsuno K, Ogo N, **Asai A**. Various effects of two types of kinesin-5 inhibitors on mitosis and cell proliferation. *Biochemical Pharmacol.* 193, 114789 (2021)
9. Taniguchi K, Konishi H, Yoshinaga A, Tsugane M, Takahashi H, Nishisaka F, Shishido Y, **Asai A**. Efficacy of combination treatment using YHO-1701, an orally active STAT3 inhibitor, with molecular-targeted agents on cancer cell lines. *Sci Rep.* 11, 6685 (2021)
10. Fukai R, Ogo N, Ichida T, Yamane M, Sawada J, Miyoshi N, Murakami H, **Asai A**. Design, synthesis, and evaluation of a novel prodrug, a S-trityl-L-cysteine derivative targeting kinesin spindle protein. *Eur J Med Chem.* 215, 113288 (2021)
11. Shibuya A, Ogo N, Sawada J, **Asai A**, Yokoyama H. Structure and comparison of the motor domain of centromere-associated protein E. *Acta Cryst.* D77, 280-287 (2021)
12. Yamaguchi A, Inuki S, Ohta K, Oishi S, **Asai A**, Ohno H. Identification of a novel indoleamine 2,3-dioxygenase inhibitor bearing an eight-membered ring fused indole scaffold and its structure-activity relationship. *Heterocycles* 103, 331-347 (2021)
13. Hayami K, Kuboki Y, Ohta K, Lin B, Fumimoto M, Nunomura K, Haruta J, Murai K, Fujioka H, **Asai A**, and Arisawa M. Design, synthesis, physical properties and indoleamine 2, 3-dioxygenase 1 inhibitory activity of substitued indole derivatives with N-H, N-metohymethyl, or N-mehylthiomethyl group toward fragment-based drug discovery. *Heterocycles* 103, 511-525 (2021)
14. Kumazawa M, Tejima M, Fukuda M, Takeda S, Suzuki K, Mizumoto Y, Sato K, Waki M, Miyachi H, **Asai A**, Takikawa O, Hashimoto T, Ohno O, Matsuno K. Discovery of carbono(di)thioates as indoleamine 2,3-dioxygenase 1 inhibitors. *ACS Med Chem Lett.* 12, 211–216 (2021)
15. Makitani K, Ogo N, **Asai A**. STX-0119, a novel STAT3 dimerization inhibitor, prevents fibrotic gene expression in a mouse model of kidney fibrosis by regulating Cxcr4 and Ccr1 expression. *Physiol Rep.*

8, e14627 (2020)
16. Mori M, Gilardoni E, Regazzoni L, Pedretti A, Colombo D, Parkinson G, **Asai A**, Meneghetti F, Villa S, Gelain A. Towards the inhibition of protein-protein interactions (PPIs) in STAT3: insights into a new class of benzothiadiazole derivatives. *Molecules* 25, 3509 (2020)
17. Suzuki Y, Otake A, Ueno S, Hayashi K, Ishii H, Miyoshi N, Kuroiwa K, Tachikawa M, Fujimaki Y, Nishiyama K, Manabe K, Yamazaki R, **Asai A**. Discovery of a potent anticancer agent PVHD303 with in vivo activity. *ACS Med Chem Lett.* 11, 1287−1291 (2020)
18. Nishisaka F, Taniguchi K, Tsugane M, Hirata G, Takagi A, Asakawa N, Kurita A, Takahashi H, Ogo N, Shishido Y, **Asai A**. Antitumor activity of a novel oral STAT3 inhibitor YHO-1701. *Cancer Sci.* 111, 1774-1784 (2020)
19. Ashizawa T, Iizuka A, Maeda C, Tanaka E, Kondou R, Miyata H, Sugino T, Kawata T, Deguchi S, Mitsuya K, Hayashi N, **Asai A**, Ito M, Yamaguchi K, Akiyama Y. Impact of combination therapy with anti-PD-1 blockade and a STAT3 inhibitor on the tumor-infiltrating lymphocyte status. *Immunol Lett.* 216, 43-50 (2019)
20. Yamane M, Sawada JI, Ogo N, Ohba M, Ando T, **Asai A**. Identification of benzo[d]pyrrolo[2,1-b]thiazole derivatives as CENP-E inhibitors. *Biochem Biophys Res Commun.* 519, 505-511 (2019)
21. Sawada JI, Ishii H, Matsuno K, Sato M, Suzuki Y, **Asai A**. Selective Inhibition of Spindle Microtubules by a Tubulin-Binding Quinazoline Derivative. *Mol Pharmacol.* 96, 609-618 (2019)
22. Wu L, Sadhukhan A, Kobayashi Y, Ogo N, Tokizawa M, Agrahari RK, Ito H, Iuchi S, Kobayashi M, **Asai A**, Koyama H. Involvement of phosphatidylinositol metabolism in aluminum-induced malate secretion in Arabidopsis. *J Exp Bot.* 70, 3329-3342 (2019)
23. Muraoka D, Seo N, Hayashi T, Tahara Y, Fujii K, Tawara I, Miyahara Y, Okamori K, Yagita H, Imoto S, Yamaguchi R, Komura M, Miyano S, Goto M, Sawada SI, **Asai A**, Ikeda H, Akiyoshi K, Harada N, Shiku H. Antigen delivery targeted to tumor-associated macrophages overcomes tumor immune resistance. *J Clin Invest.* 129, 1278-1294 (2019)
24. Gelain A, Mori M, Meneghetti F, Porta F, Basile L, Marverti G, **Asai A**, Hyeraci M, García-Argáez AN, Via LD, Guccione S, Villa S. Exploring the biological activity of a library of 1,2,5-oxadiazole derivatives endowed with antiproliferative activity. *Anticancer Res.* 39, 135-144 (2019)
25. Koseki T, Suehiro N, Masuda Y, Miyoshi N, Muraoka D, Ogo N, **Asai A**. Discovery of a new STAT3 inhibitor acting on the linker domain. *Biol. Pharm. Bull.* 42, 792-800 (2019)
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29. Gabriele E, Brambilla D, Ricci C, Regazzoni L, Taguchi K, Ferri N, **Asai A**, Sparatore A. New sulfurated derivatives of cinnamic acids and rosmaricine as inhibitors of STAT3 and NF-κB

transcription factors. *J Enzyme Inhib Med Chem*. 32, 1012-1028 (2017)

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31. Suzuki Y, Sawada JI, Hibner P, Ishii H, Matsuno K, Sato M, Witulski B, **Asai A**. Fluorescent anticancer quinazolines as molecular probes for β-tubulin colchicine site competition assay and visualization of microtubules as intracellular targeting sites. *Dyes and Pigments* 145, 233-238 (2017)
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35. Ohba M, Oka T, Ando T, Arahata S, Ikegaya A, Takagi H, Ogo N, Zhu C, Owada K, Kawamori F, Wang Q, Saif LJ, **Asai A**. Antiviral effect of theaflavins against caliciviruses. *J Antibiot.* 70, 443-447 (2017)
36. Carbajales C, Sawada JI, Marzaro G, Sotelo E, Escalante L, Sánchez-Díaz Marta A, García-Mera X, **Asai A**, Coelho A. Multicomponent assembly of the kinesin spindle protein inhibitor CPUYJ039 and analogues as antimitotic agents. *ACS Comb Sci.* 19, 153–160 (2017)
37. Iwamoto K, Uehara Y, Inoue Y, Taguchi K, Muraoka D, Ogo N, Matsuno K, **Asai A**. Inhibition of STAT3 by Anticancer Drug Bendamustine. *PLoS One* 12, e0170709 (2017)
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39. Gabriele E, Porta F, Facchetti G, Galli C, Gelain A, Meneghetti F, Rimoldi I, Romeo S, Villa S, Ricci C, Ferri N, **Asai A**, Barlocco D, Sparatore A. Synthesis of new dithiolethione and methanethiosulfonate systems endowed with pharmaceutical interest. *Arkivoc. part ii*, 235-250 (2017)
40. **Asai A**, Takakuma K. Expression and purification of soluble STAT5b/STAT3 proteins for SH2 domain binding assay. SH2 Domains: Methods and Protocols, Machida K, Liu BA (Eds) Springer, New York, p351-356 (2017) *book (chapter)*
41. **Asai A**, Takakuma K. Alpha-based Multiplexed Assay for Identifying SH2 Domain Antagonists. SH2 Domains: Methods and Protocols, Machida K, Liu BA (Eds) Springer, New York, p163-172 (2017) *book (chapter)*
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48. Poli G, Gelain A, Porta F, **Asai A**, Martinelli A, Tuccinardi T. Identification of a new STAT3 dimerization inhibitor through a pharmacophore-based virtual screening approach. *J Enzyme Inhib Med Chem.* 31, 1011-1017 (2016)
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50. Meneghetti F, Villa S, Masciocchi D, Barlocco D, Toma L, Han DC, Kwon BM, Ogo N, **Asai A**, Legnani L, Gelain A. Ureido-pyridazinone derivatives: Insights into the structural and conformational properties for STAT3 inhibition. *Eur J Org Chem.* 22, 4907–4912 (2015)
51. Yokoyama H, Sawada J, Katoh S, Matsuno K, Ogo N, Ishikawa Y, Hashimoto H, Fujii S, **Asai A**. Structural basis of new allosteric inhibition in Kinesin spindle protein Eg5. *ACS Chem Biol.* 10, 1128-1136 (2015)
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55. Kawamura S, Unno Y, **Asai A**, Arisawa M, Shuto S. Development of a new class of proteasome inhibitors with an epoxyketone warhead: Rational hybridization of non-peptidic belactosin derivatives and peptide epoxyketones. *Bioorg Med Chem.* 22, 3091-3095 (2014)
56. Takeuchi T, Oishi S, Kaneda M, Misu R, Ohno H, Sawada JI, **Asai A**, Nakamura S, Nakanishi I, Fujii N. Optimization of diaryl amine derivatives as kinesin spindle protein inhibitors. *Bioorg Med Chem.* 22, 3171-3179 (2014)
57. Takeuchi T, Oishi S, Kaneda M, Ohno H, Nakamura S, Nakanishi I, Yamane M, Sawada J, **Asai A**,

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boron peptide analogues of Belactosin C as proteasome inhibitors. *Bioorg Med Chem Lett.* 19, 3220-3224 (2009)

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